L13 ANSWER 17 OF 17 WPIDS COPYRIGHT 2001 DERWENT INFORMATION LTD
AN 1966-12284F [00] WPIDS
AB JP 64007786 B UPAB: 19930831
Carbetapentane (1-phenyl-1-cyclopentanecarboxylic acid ethylamino ethoxy ethyl ester) tannate.

Non-irritant, tasteless **cough**-suppressor.

By reacting carbetapentane or a salt thereof with tannic acid in soln. (H2O, MeOH, or EtOH).

2g. carbetapentane citrate in 6 ml. H2O is treated with 15 ml. 1 N. NaOH and 20% aq. tannic acid until pptn. is complete. Filtration, H2O washing and drying of the filtrate gives 2.2 g. product, m. 57-60 deg.

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L16 ANSWER 5 OF 9 DS COPYRIGHT 2000 DERWENT IN. .MATION LTD
AN 1994-363537 [45] WPIDS
AB JP 06287144 A UPAB: 19950102
The preparation for the treatment of colds comprises (a) at least one crude drug selected from a gp. consisting of Bupleuri Radix, Peurariae Radix, Asiasari Radix, peucedani radix and Pwerillae Herba, and (b) a non-crude drug.

Pref. crude drug is Bupleuri Radix. Non-crude drug is pref. antipyretic analgesic such as aspirin, aspirin aluminium, acetaminophen, ethenzamide, salicylamide, lactilphenetidine, isopropylantipyrine, ibuprofen, sasapyrine, and sodium salicylate, enzyme drug such as serapeptase and lysozyme hydrochloride, **antihistamine** such as isothipendyl hydrochloride, diphenylpyraline hydrochloride, diphenhydramine hydrochloride, diphetherol hydrochloride, triprolidine hydrochloride, tripelenamine hydrochloride, thonzylamine hydrochloride, phenetidine hydrochloride, methodilazine hydrochloride, diphenhydramine salicylate, diphenyldisulphonic acid carbinoxamine, alimemezine tartrate, diphenhydramine tannate, diphenylpyraline theoclate, mebhydrolyne napadisylate, methylenepromethazine disalicylate, carbinoxamine maleate, dl-chlorophenylamide maleate, d-chlorophenylamide maleate and diphetherol phosphate, sympathomimetic such as dl-methylephedorine hydrochloride, dl-methylephedorine saccharate, phenylpropanolamine hydrochloride, l-methylephedrin hydrochloride, dl-methylephedrin saccharate, methoxyphenamine hydrochloride, trimethoquinol hydrochloride, ethylcysteine hydrochloride, and methylcysteine hydrochloride, **antitussive** such as alloclamide hydrochloride, cloperastine hydrochloride, **carbetapentane** citrate, tipepidine citrate, dibuanate sodium, dextromethorphan hydrobromide, dextromethorphan, phenolphthalate, tipepidine hibenzonate, cloperastine phendizoate, codeine phosphate, dihydrocodeine phosphate, noscapine, noscapine hydrochloride, dl-methylphedorine hydrochloride, dl-methylephedorine saccharate, l-methylephedorine hydrochloride, trimethoquinol hydrochloride, phenylpropanolamine hydrochloride, and methoxyphenamine hydrochloride, or **expectorant** such as potassium gluaiacolsulphonate, guaiphenesin, aminophylline, theophylline, diprophylline, propyphylline, ammonium chloride, and cresol potassium sulphonate.

USE/ADVANTAGE - The preparation shows pharmacological effects of (a) and (b) against a wide spectrum of cold symptoms and few side-effects.

In an example, acetoaminophene (900mg), dihydrocodein phosphate (24mg), noscapine, methylephedrin hydrochloride (60mg), chlorophenylamine maleate (7.5 mg), caffeine anhydride (75 mg) and Bupleuri Radix powder (600mg) were mixed to form a preparation (B). Another

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L1 1 1965:402734/AN

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L1 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2001 ACS
ACCESSION NUMBER: **1965:402734** CAPLUS
DOCUMENT NUMBER: 63:2734
ORIGINAL REFERENCE NO.: 63:441c
TITLE: Carbetapentane tannate
INVENTOR(S): Aida, Yoko
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd.
SOURCE: 1 p.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 39007786 | | 19640518 | JP | 19620621 |

AB Carbetapentane citrate (2 g.) is dissolved in 6 ml. water and 15 ml. N NaOH. Then, 20% aq. tannic acid is added and the ppt. is sepd., washed with water, and dried to give 2.2 g. tannate, m. 57-60.degree.. The product is not bitter and is useful as a corrigent in antitussives for children.

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特許庁

# 特許公報

特許出願公告

昭39—7786

公告 昭 39. 5.18

(全1頁)

## カーベタペンタンタンニン酸塩の製造方法

特願 昭 37—26044
出願日 昭 37. 6. 21
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### 発明の詳細な説明

カーベタペンタン（1—フエニル—1—シクロペンタンカルボン酸ジエチルアミノエトキシエチルエステル）は鎮咳薬として用いられる薬剤で、そのクエン酸塩はナショナルフアーマシイ第11版に収載されているが、はげしい苦味と強い刺激性を有するため服用は困難で、特に小児の服用には不適当である。

本発明者はこのカーベタペンタンの塩の前記欠点を除去するため、種々の有機酸の塩について研究、検討の結果、カーベタペンタンタンニン酸塩が無味で、かつ極めて水に溶解し難いが、アルカリ液に可溶であることを知つた。したがつて本発明方法により得られるカーベタペンタンタンニン酸は苦味を有しないため服用し易く、服用後、腸内で溶解して、その効果を発揮するのである。

本発明方法はカーベタペンタン或はその塩とタンニン酸を水または有機溶媒、例えばメタノール、エタノール等を共存せしめて撹拌するか、あるいはカーベタペンタンにタンニン酸溶液を加えて反応させることにより、目的とするカーベタペンタンタンニン酸塩を好収率で得るのである。

こうして得られたカーベタペンタンタンニン酸塩の融点は57—60℃で、このものはアルコール、アセトンにやや溶解し、ベンゾール、クロロホルム、エーテルにはほとんど溶解しない。

以下に本発明方法を実施例によつて説明する。

実施例 1

カーベタペンタンクエン酸塩2gを水6mlに溶解し、1N—NaOHを15ml加える。これにタンニン酸の20%水溶液を沈澱が生じなくなるまで加える（このときの液性はほぼpH7である）。

沈澱を濾過して取り、水洗して乾燥し、目的物を得る。収量2.2g、融点57—60℃。

実施例 2

カーベタペンタンクエン酸塩1gを水に溶解し、タンニン酸20%水溶液を沈澱が生じなくなるまで加え、生じた沈澱は濾過して取り水洗したのち乾燥し、目的物を得る。収量1.0g、融点57—60℃

### 特許請求の範囲

1 カーベタペンタンまたはその塩とタンニン酸を反応させることを特徴とするカーベタペンタンタンニン酸塩の製造方法。

PTO: 2001-4139

Japanese Examined Patent Application 39-7786, Published May 18, 1964; Application Filing No. 37-26044, Filed June 21, 1962; Inventor: Yoko AIDA; Assignee: Sumitomo Industrial Corp.

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# Manufacturing Method for Carbeter Pentane Tannic Acid Salt

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[CLAIM]

Carbeter pentane (1-phenyl-1-cyclopentane carboxylic acid diethyl amino etoxy ethyl ester) is a chemical that is used as a cough medicine, and the citric acid salt therein is contained in the 11th edition of the National Pharmacy, but because of the harsh taste and strong stimulant effect, it is difficult to administer, and as such in particular it cannot be applied for use with children.

The present inventors undertook research into various organic acid salts for the purpose of resolving said disadvantages, and the result of their investigation was the discovery that carbeter pentane tannin acid salts are flavorless and very difficult to dissolve in water, but can be dissolved in an alkali solution. Therefore, the carbeter pentane tannin acid salt obtained by the method of the present invention has no harsh taste and is easy to administer, is easily dissolved in the stomach after administration, and the effect is evident.

The method of the present invention is that carbeter pentane or a salt thereof and tannin acid are either mixed in the presence of water or an organic solvent (for example, methanol, ethanol, or the like); or a tannin acid solution

is added to carbeter pentane so as to react; and by means of this, the carbeter pentane tannin acid salt can be obtained with good efficiency.

The melting point of the obtained carbeter pentane tannin acid salt is from 57 - 60°C; this can be somewhat dissolved in alcohol or acetone, and almost completely dissolved in benzole, chloroform, or ether.

An embodiment of the present invention will be explained hereinbelow.

EMBODIMENT 1

2g of carbeter pentane citric acid salt is dissolved in 6m of water, and 15ml of 1N-NaOH is added. A 20% solution of tannin acid is added until it does not precipitate anymore (the solution at this point is roughly pH 7).

The precipitate is filtered, washed with water, and dried, such that the target article is obtained. Yield is 2.2g, melting point 57 - 60°C.

EMBODIMENT 2

1g of carbeter pentane citric acid salt is dissolved in water, and a 20% solution of tannin acid is added until it does not precipitate anymore. The precipitate is filtered, washed with water, and dried, such that the target article is obtained. Yield is 1.0g, melting point 57 - 60°C.

CLAIM

A manufacturing method for carbeter pentane tannin acid salt characterized in that carbeter pentane or a salt thereof is reacted with tannin acid.

USPTO TRANSLATIONS BRANCH

Matt Alt

September 10, 2001